368866

Priority 8/10/98

Trying 3106016892...Open

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LOGINID:ssspta1200jxo
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1	Feb 2	Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	Dec 17	Expanded CAplus Coverage of US, Japanese, WIPO, EPO, and German patents
NEWS	3	Feb 1	Addition of Machine-Translated Abstracts to CAplus
NEWS	4	Feb 28	Patent Information Now Searchable in CAOLD SDI/UPDATE SEARCH FIELD
NEWS	5	May 1	Beilstein Abstracts on STN - FILE BABS
NEWS	6	May 1	RN CROSSOVER AND ANSWER SIZE LIMITS INCREASED
NEWS	7	May 1	AIDSLINE has been reloaded
NEWS	8	May 1	Searching Y2-K compliant Patent Numbers
NEWS	9	May 9	Sequence Similarity Batch Search in DGENE
NEWS	10	May 19	Weekly Statistics for New Entries now available in INPADOC
NEWS	11	May 22	CITED REFERENCES NOW AVAILABLE IN CAPLUS AND CA FILE
NEWS	12	May 22	POSTPROCESSING OF SEARCH RESULTS MAY BE AFFECTED BY ADDITION OF CITED REFERENCES TO CAPLUS, CA, REGISTRY, CASREACT, MARPAT, and MARPATPREV
NEWS	13	Jun 2	KOREAN PATENTS NOW IN CAS DATABASES
NEWS	14	Jun 20	WIPO/PCT Patents Fulltext Database now on STN
NEWS	15	Jun 28	NEWS 15 Jun 28 CAS covers Web-distributed preprints
NEWS	16	Jul 7	Patent Full-text Cluster, PNTTEXT, introduced

NEWS EXPRESS	FREE UPGRADE 5.0C NOW AVAILABLE
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
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368866

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* * * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:15:13 ON 20 JUL 2000

=> file reg

COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.15       0.15

FILE 'REGISTRY' ENTERED AT 12:15:18 ON 20 JUL 2000
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STRUCTURE FILE UPDATES:   19 JUL 2000  HIGHEST RN 278777-27-8
DICTIONARY FILE UPDATES:  19 JUL 2000  HIGHEST RN 278777-27-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 11, 2000

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Structure search limits have been increased.  See HELP SLIMIT
for details.

*** YOU HAVE NEW MAIL ***

=>
Uploading 368866.str

L1      STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS
L1              STR
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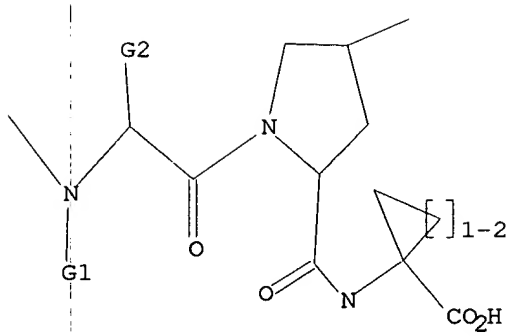

G1 C,H,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,t-Bu

G2 C,H,Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s L1 sss full

FULL SEARCH INITIATED 12:15:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     35 TO ITERATE

100.0% PROCESSED      35 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L2          0 SEA SSS FUL L1

=> d L1

L1 HAS NO ANSWERS
L1              STR
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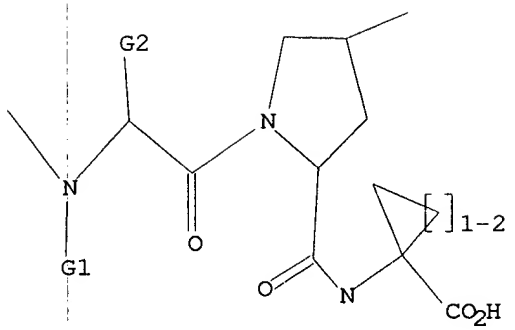

G1 C,H,Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,t-Bu

G2 C,H,Cb,Ak

Structure attributes must be viewed using STN Express query preparation.

=> file beil

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	126.60	126.75

FILE 'BEILSTEIN' ENTERED AT 12:16:19 ON 20 JUL 2000

FILE LAST UPDATED: 6 MAR 2000

FILE COVERS 1779 TO 2000.
*** CAS REGISTRY NUMBERS FOR 4,356,237 SUBSTANCES AVAILABLE ***
*** FILE CONTAINS 7,688,486 SUBSTANCES ***

```
**********************************************************************
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.                *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE   *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE          *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                        *
* FOR PRICE INFORMATION SEE HELP COST                                *
**********************************************************************
```

368866

*** YOU HAVE NEW MAIL ***

=> s L1 full

FULL SEARCH INITIATED 12:16:32 FILE 'BEILSTEIN'
FULL SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.03

L3 0 SEA SSS FUL L1

=> file caslink

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.00	126.75

FILE 'REGISTRY' ENTERED AT 12:16:41 ON 20 JUL 2000
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FILE 'MARPAT' ENTERED AT 12:16:41 ON 20 JUL 2000
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FILE 'MARPATPREV' ENTERED AT 12:16:41 ON 20 JUL 2000
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FILE 'CAPLUS' ENTERED AT 12:16:41 ON 20 JUL 2000
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*** YOU HAVE NEW MAIL ***

CLUSTER 'CASLINK' ENTERED

Predefined command sequences will be executed in
REGISTRY, MARPAT, MARPATPREV, and CAPLUS.

```
=> s L1 full

S L1 SSS FUL FILE=REGISTRY
FULL SEARCH INITIATED 12:17:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     35 TO ITERATE

100.0% PROCESSED      35 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L4             0 SEA SSS FUL L1
   1 FILES SEARCHED...

S L4 SSS FUL FILE=MARPAT
FULL SEARCH INITIATED 12:17:45 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED -  20573 TO ITERATE

  8.1% PROCESSED    1668 ITERATIONS                               0 ANSWERS
 15.9% PROCESSED    3268 ITERATIONS  (     1 INCOMPLETE)          1 ANSWERS
 21.8% PROCESSED    4475 ITERATIONS  (     1 INCOMPLETE)          1 ANSWERS
 25.2% PROCESSED    5184 ITERATIONS  (     1 INCOMPLETE)          1 ANSWERS
 31.5% PROCESSED    6476 ITERATIONS  (     1 INCOMPLETE)          2 ANSWERS
 42.7% PROCESSED    8779 ITERATIONS  (     2 INCOMPLETE)          4 ANSWERS
 53.8% PROCESSED   11070 ITERATIONS  (     2 INCOMPLETE)          4 ANSWERS
 61.0% PROCESSED   12557 ITERATIONS  (     2 INCOMPLETE)          4 ANSWERS
 68.3% PROCESSED   14045 ITERATIONS  (     3 INCOMPLETE)          5 ANSWERS
 74.5% PROCESSED   15323 ITERATIONS  (     4 INCOMPLETE)          6 ANSWERS
 80.1% PROCESSED   16485 ITERATIONS  (     4 INCOMPLETE)          6 ANSWERS
 85.6% PROCESSED   17609 ITERATIONS  (     5 INCOMPLETE)          8 ANSWERS
 90.1% PROCESSED   18544 ITERATIONS  (     5 INCOMPLETE)          8 ANSWERS
 93.1% PROCESSED   19147 ITERATIONS  (     5 INCOMPLETE)          8 ANSWERS
 94.9% PROCESSED   19529 ITERATIONS  (     5 INCOMPLETE)          8 ANSWERS
```

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 95.8% PROCESSED   19712 ITERATIONS  (     5 INCOMPLETE)        8 ANSWERS

 96.3% PROCESSED   19817 ITERATIONS  (     5 INCOMPLETE)        8 ANSWERS

 96.7% PROCESSED   19885 ITERATIONS  (     5 INCOMPLETE)        8 ANSWERS

 97.2% PROCESSED   19992 ITERATIONS  (     5 INCOMPLETE)        8 ANSWERS

 97.5% PROCESSED   20066 ITERATIONS  (     5 INCOMPLETE)        8 ANSWERS

 97.8% PROCESSED   20129 ITERATIONS  (     5 INCOMPLETE)        8 ANSWERS

 98.8% PROCESSED   20335 ITERATIONS  (     5 INCOMPLETE)        8 ANSWERS

 99.4% PROCESSED   20441 ITERATIONS  (     5 INCOMPLETE)        8 ANSWERS

100.0% PROCESSED   20573 ITERATIONS  (     5 INCOMPLETE)        8 ANSWERS
SEARCH TIME: 00.06.41

L5             8 SEA SSS FUL L1
   1 FILES SEARCHED...

S L5 SSS FUL FILE=MARPATPREV
FULL SEARCH INITIATED 12:24:28 FILE 'MARPATPREV'
FULL SCREEN SEARCH COMPLETED -    100 TO ITERATE

100.0% PROCESSED     100 ITERATIONS                           0 ANSWERS
SEARCH TIME: 00.00.07

L6             0 SEA SSS FUL L1
   1 FILES SEARCHED...

S L4 FILE=CAPLUS
L7             0 FILE CAPLUS
   1 FILES SEARCHED...

SET DUPORDER FILE
SET COMMAND COMPLETED

DUP REM L6 L5 L7
L6 HAS NO ANSWERS
L7 HAS NO ANSWERS
PROCESSING COMPLETED FOR L6
PROCESSING COMPLETED FOR L5
PROCESSING COMPLETED FOR L7
L8             8 DUP REM L6 L5 L7 (0 DUPLICATES REMOVED)
                 ANSWERS '1-8' FROM FILE MARPAT
```
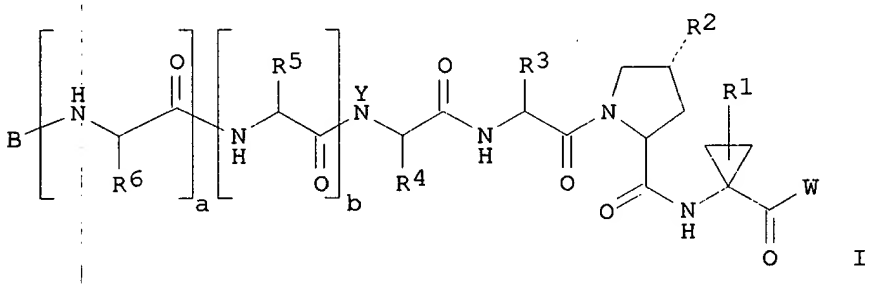

=> d L8 1-8 ibib abs FHIT

L8 ANSWER 1 OF 8 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 132:175808 MARPAT
TITLE: Hepatitis C inhibitor peptides
INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.; Cameron, Dale; Ghiro, Elise; Goudreau, Nathalie; Poupart, Marc-Andre; Rancourt, Jean; Tsantrizos, Youla S.
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009558	A1	20000224	WO 1999-CA737	19990809
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9952732	A1	20000306	AU 1999-52732	19990809
PRIORITY APPLN. INFO.:			US 1998-95945	19980810
			WO 1999-CA737	19990809

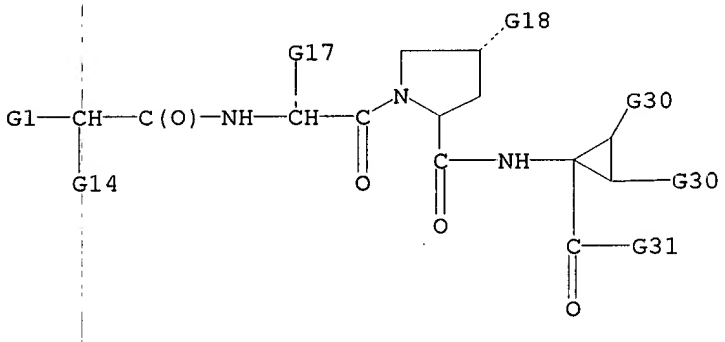
GI



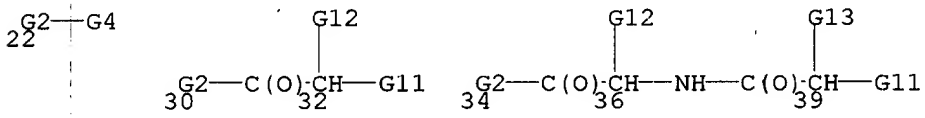
I

AB The invention provides peptides I (a, b = 0, 1; Y = H, C1-6 alkyl; B = H, acyl deriv., sulfonyl deriv.; W = OH, N-substituted amino), or a pharmaceutically acceptable salt or ester thereof, for use in the treatment of hepatitis C virus infection. Prepn. of peptides is included.

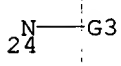
MSTR 1



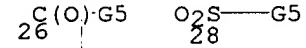
G1 = NH2 / 22 / 30 / 34



G2 = NH / 24

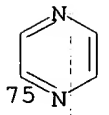


G3 = alkyl<(1-6)> / (SC Me)
G4 = 26 / 28 / (SC COMe)

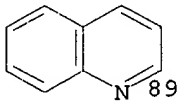


G5 = alkyl<(1-10)> (SO G6) / cycloalkyl<(3-7)> (SO G7) / aryl<(6-10)> (SO G8) / alkyl<(1-6)> (SR (1-) G9) /

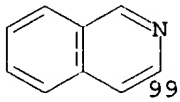
Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0) OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO G10) / (SC 75 / 89 / 99 / 109 / 119 / 131)



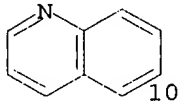
75



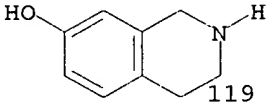
89



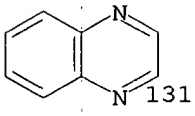
99



109



119



131

G6 = CO2H / alkylcarbonyloxy<(1-5)> / OCHO / alkoxy<(1-6)>
G7 = CO2H / alkoxycarbonyl<(1-6)> / CO2CH2Ph
G8 = alkyl<(1-6)> / OH / NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)>
G9 = aryl<(6-10)> (SO G8)
G10 = alkyl<(1-6)> / OH / NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)> / dialkylaminocarbonyl<(1-6)>
G11 = NH2 / 41

HN—G4
41

G12 = alkyl<(1-6)> (SO CO2H) / (SC CH2CO2H / CH2CH2CO2H / Pr-i / Bu-t)
G13 = alkyl<(1-6)> (SR CO2H) / (SC CH2CO2H / CH2CH2CO2H)
G14 = alkyl<(1-10)> / cycloalkyl<(3-7)> / 47 / (SC Pr-i / cyclohexyl / Bu-t / Bu-s / Bu-i)

G15—G16
47

G15 = alkylene<(1-7)>
G16 = cycloalkyl<(3-7)>
G17 = **alkyl<(1-10)>** / cycloalkyl<(3-7)> / 50 / (SC Bu-s / cyclohexyl / Pr-i / Bu-t)

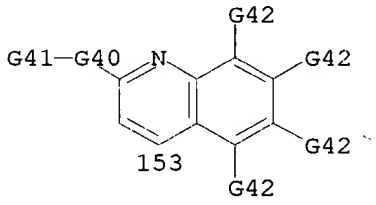
G15—G16
50

G18 = **53** / 57

H_2C—G39 G23—G19
53 57

G19 = Cb<(3-7)> (SO (1-3) G20) / 55 /
aryl<(6-10)> (SO (1-3) G20) / alkyl<(1-6)> (SR (1-) G24) /
Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0) OTHERQ,
RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO (1-3) G20) / 59 /
(SC 133 / naphthyl / quinolinyl (SO (1-2) G34) / 153)

G21—G22 G32—G25 H_2C—G33
55 59 133

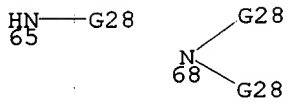


G20 = alkyl<(1-6)> / alkoxy<(1-6)> / NH2 /
alkylamino<(1-6)> / dialkylamino<(1-6)> / 61 / NO2 / OH /
SH / F / Cl / Br / I / alkyl (SR (1-) G26) / 63 / CO2H /
alkyl<(1-6)> (SR CO2H) / aryl<(6-10)> (SO) /
alkyl<(1-6)> (SR (1-) aryl<(6-10)> (SO)) /
Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0) OTHERQ,
RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO)

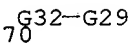
O_2S—R C(O)-G27
61 63

G21 = Ak<(1-7)>
G22 = Cb<(3-7)> (SO (1-3) G20)
G23 = NH / O / S
G24 = aryl<(6-10)> (SO (1-3) G20)
G25 = Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0)
OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO (1-3) G20)
G26 = F / Cl / Br / I

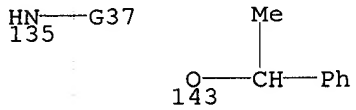
G27 = NH2 / 65 / 68



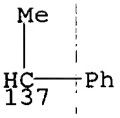
G28 = alkyl<(1-6)> / aryl<(6-10)> (SO) /
alkyl<(1-6)> (SR (1-) aryl<(6-10)> (SO)) /
Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0) OTHERQ,
RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO) / 70



G29 = Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0)
OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO)
G30 = (1-) H / alkyl<(1-6)> (SO (1-) G26) /
alkenyl<(2-6)> (SO (1-) G26) / (SC Me (SO (1-) G26) /
Et (SO (1-) G26) / Pr-n (SO (1-) G26) / CH=CH2 (SO (1-) G26))
G31 = **OH (SO)** / NH2 (SR) / (SC 135 /
alkoxy<(1-6)> (SO (1-) aryl<(6-10)>) / OPh / OMe / OEt /
OCH2Ph / 143)

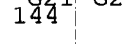


G32 = alkylene<(1-6)>
G33 = naphthyl / Ph
G34 = CONH2 / alkylaminocarbonyl<(1-6)> /
dialkylaminocarbonyl<(1-6)> / aryl<(6-10)> (SO G35) /
alkyl<(1-6)> (SR (1-) G36) / Hy<EC (5-) A (1-4) Q (0-) O (0-)
S (0-) N (0) OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7>
(SO G35) / alkyl<(1-6)> / alkoxy<(1-6)> / NH2 /
dialkylamino<(1-6)> / alkylcarbonylamino<(1-6)> / NO2 / OH /
F / Cl / Br / I / CF3 / CO2H / OMe / NHCOMe
G35 = NH2 / dialkylamino<(1-6)> /
alkylcarbonylamino<(1-6)> / NMe2 / NHCOMe
G36 = aryl<(6-10)> (SO G35)
G37 = CH2Ph / 137



137

G39 = Cb<(3-7)> (SO (1-3) G20) / 144 /
aryl<(6-10)> (SO (1-3) G20) / alkyl<(1-6)> (SR (1-) G24) /
Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0) OTHERQ,
RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO (1-3) G20) / 146



144 146

G40 = phenylene / Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-)
N (0) OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7>
G41 = NH2 / dialkylamino<(1-6)> /
alkylcarbonylamino<(1-6)> / NO2 / OH / F / Cl / Br / I /
CF3 / CO2H
G42 = (3-) H / NHCOMe / F / Cl / Br / I / NH2 / NO2 /
alkoxy<(1-6)> / OMe
DER: or pharmaceutically acceptable salts or esters
MPL: claim 1
STE: 32,36,39 - D,L
STE: and racemates, diastereoisomers and optical isomers

REFERENCE COUNT: 5
REFERENCE(S): (1) Boehringer Ingelheim Canada Ltd; WO 9907733 A2 1999 CAPLUS
(2) Ingallinella, P; Biochemistry 1998, V37, P8906 CAPLUS
(3) Linas-Brunet, M; Bioorganic & Medicinal Chemistry Letters 1998, V8, P1713
(4) Mori, A; Biochemical and biophysical research communications 1997, V231, P738 CAPLUS
(5) Vertex Pharmacetuicals Incorporated; WO 9817679 A1 1998 CAPLUS

L8 ANSWER 2 OF 8 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 132:180871 MARPAT
TITLE: Preparation of hepatitis C inhibitory tripeptides
INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray D.; Cameron, Dale; Faucher, Anne-Marie; Ghiro, Elise; Goudreau,

Applicants

Nathalie; Halmos, Teddy; Poupart, Marc-Andre; Rancourt, Jean; Tsantrizos, Youla S.; Wernic, Dominik M.; Simoneau, Bruno
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 168 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009543	A2	20000224	WO 1999-CA736	19990809
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9952731	A1	20000306	AU 1999-52731	19990809
PRIORITY APPLN. INFO.:			US 1998-95931	19980810
			US 1999-132386	19990504
			WO 1999-CA736	19990809

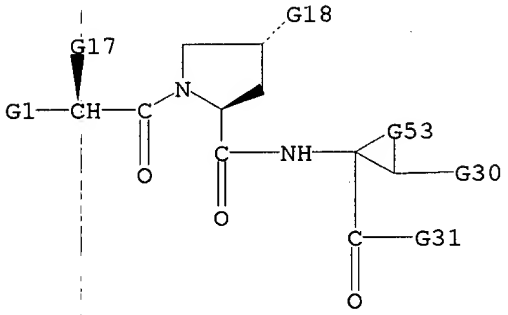
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

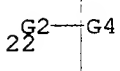
AB Peptides I [B = H, (un)substituted aryl, aralkyl, heterocyclyl, or alkylheterocyclyl, acyl R4CO, carboxylate R4O2C, amide R4NR5CO, thioamide R4NR5C(S), or sulfonyl group R4SO2, where R4 = (un)substituted alkyl, cycloalkyl, cycloalkoxy, amino, aralkyl, or heterocyclyl, with proviso that R4 .noteq. cycloalkoxy for amides or thioamides; R5, Y = H, alkyl; R3 = (un)substituted alkyl, cycloalkyl, or alkylcycloalkyl; R2 = (un)substituted cycloalkyl-, aryl-, aralkyl-, or heterocyclylmethyl, -amino, -oxy, or -thio; R1 = H; alkyl, cycloalkyl, alkenyl, or alkynyl, all optionally substituted with halogen] or their racemates, diastereoisomers, and optical isomers were prepd. as hepatitis C virus (HCV) inhibitory tripeptides. Thus, compd. II was prepd. via peptide coupling reactions in soln. and showed IC50 < 0.5 .mu.M in the recombinant

HCV NS3 protease/NS4A cofactor peptide radiometric assay.

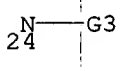
MSTR 1



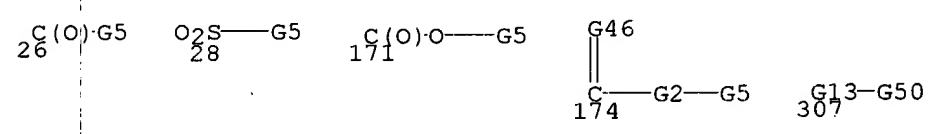
G1 = NH2 / 22 / alkylamino<(1-6)> / (SC NHMe)



G2 = **NH** / 24



G3 = alkyl<(1-6)> / (SC Me)
G4 = aryl<(6-10)> (SO G11) / alkyl<(1-6)> (SR (1-) G12) / Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0) OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO G11) / 307 / **26** / 28 / 171 / 174 / (SC COMe)

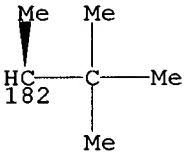


G5 = alkyl<(1-10)> (SO G6) / cycloalkyl<(3-7)> (SO G7) / cycloalkyloxy<(3-7)> (SO G7) / 178 / NH2 / alkylamino<(1-6)> / dialkylamino<(1-6)> / CONH2 / alkylcarbonylamino<(1-6)> / aryl<(6-10)> (SO G8) / alkyl<(1-6)> (SR (1-) G9) / Hy<EC (5-) A (1-4) Q (0-) O (0-)

S (0-) N (0) OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7>
(SO G10) / 180 / (SC Bu-t / 182)

G47—G48
178

G49—G50
180



G6 = CO2H / alkylcarbonyl<(1-5)> / CHO / OH /
alkoxy<(1-6)> / NH2 / alkylamino<(1-6)> /
dialkylamino<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)>

G7 = OH / CO2H / alkoxycarbonyl<(1-6)> / NH2 /
alkylamino<(1-6)> / dialkylamino<(1-6)> / CONH2 /
alkylaminocarbonyl<(1-6)>

G8 = alkyl<(1-6)> / OH / CONH2 /
alkylaminocarbonyl<(1-6)> / NH2 / alkylamino<(1-6)> /
dialkylamino<(1-6)>

G9 = aryl<(6-10)> (SO G8)

G10 = alkyl<(1-6)> / OH / CONH2 /
alkylaminocarbonyl<(1-6)> / NH2 / alkylamino<(1-6)> /
dialkylamino<(1-6)>

G11 = alkyl<(1-6)> (SO (1-) G26) / alkoxy<(1-6)> /
alkylcarbonyl<(1-5)> / CHO / OH / alkyl<(1-6)> (SR OH) /
NO2 / CN / alkyl<(1-6)> (SR CN) / NH2 / alkylamino<(1-6)> /
dialkylamino<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)>

G12 = aryl<(6-10)> (SO G11)

G13 = Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0)
OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO G11)

G14 = OH / alkoxy<(1-6)> / alkylthio<(1-6)> / CONH2 /
alkylaminocarbonyl<(1-6)> / aryl<(6-10)> /
alkyl<(1-6)> (SR (1-) aryl<(6-10)>)

G15 = alkylene<(1-7)> (SO (1-) G14)

G16 = cycloalkyl<(3-7)> (SO (1-) G14)

G17 = **alkyl<(1-8)> (SO (1-) G14)** /
cycloalkyl<(3-7)> (SO (1-) G14) / 50 / (SC Bu-s /
cyclohexyl / Pr-i / Bu-t)

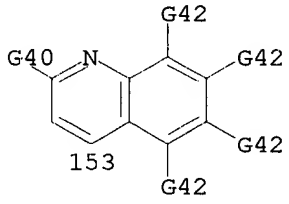
G15—G16
50

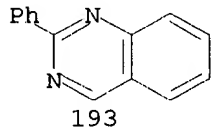
G18 = **53** / 57

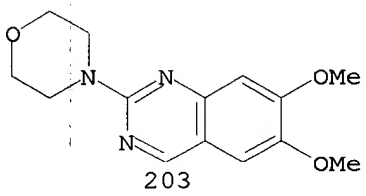
H_2C—G39 (53) G23—G19 (57)

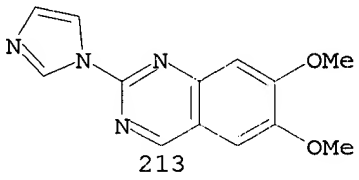
G19 = Cb<(3-7)> (SO (1-3) G20) / 55 /
aryl<(6-10)> (SO (1-3) G20) / alkyl<(1-6)> (SR (1-) G24) /
Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0) OTHERQ,
RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO (1-3) G20) / 59 /
(SC 133 / naphthyl / quinolinyl (SO (1-) G34) / 193 / 203 /
213 / 245 / 153)

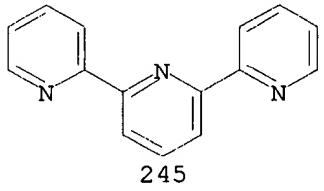
G21—G22 (55) G32—G25 (59) H_2C—G33 (133)





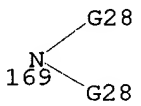




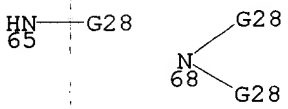


G20 = alkyl<(1-6)> / alkoxy<(1-6)> / alkylthio<(1-6)> /
NH2 / 61 / 166 / 169 / NO2 / OH / SH / F / Cl / Br / I /
alkyl (SR (1-) G26) / 63 / CO2H / alkyl<(1-6)> (SR CO2H) /
aryl<(6-10)> (SO) / alkyl<(1-6)> (SR (1-) aryl<(6-10)>
(SO)) / Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0)
OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO)

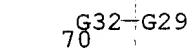
O_2S—R (61) C(O)-G27 (63) HN—G28 (166)



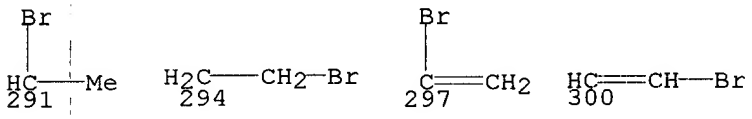
G21 = Ak<(1-7)>
G22 = Cb<(3-7)> (SO (1-3) G20)
G23 = NH / O / S
G24 = aryl<(6-10)> (SO (1-3) G20)
G25 = Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0) OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO (1-3) G20)
G26 = F / Cl / Br / I
G27 = NH2 / 65 / 68



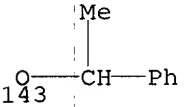
G28 = alkyl<(1-6)> / aryl<(6-10)> (SO) / alkyl<(1-6)> (SR (1-) aryl<(6-10)> (SO)) / Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0) OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO) / 70



G29 = Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0) OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO)
G30 = (1-) H / alkyl<(1-6)> (SO (1-) G26) / alkenyl<(2-6)> (SO (1-) G26) / cycloalkyl<(3-7)> (SO (1-) G26) / alkynyl<(2-6)> (SO (1-) G26) / (SC Et / CH=CH2 / cyclopropyl / 291 / 294 / 297 / 300)

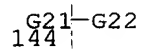


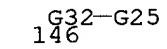
G31 = **OH (SO)** / (SC alkoxy<(1-6)> (SO (1-) aryl<(6-10)>) / OPh / OMe / OEt / OCH2Ph / 143)



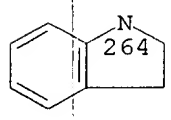
G32 = alkylene<(1-6)> / (SC CH2)

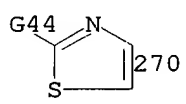
G33 = naphthyl / Ph
G34 = R / Cl / OMe / CF3 / NH2
G39 = Cb<(3-7)> (SO (1-3) G20) / 144 /
aryl<(6-10)> (SO (1-3) G20) / alkyl<(1-6)> (SR (1-) G24) /
Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0) OTHERQ,
RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO (1-3) G20) / 146

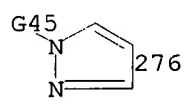


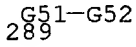


G40 = alkyl<(1-6)> / alkoxy<(1-6)> / alkylthio<(1-6)> /
F / Cl / Br / I / NH2 / alkylamino<(1-6)> /
dialkylamino<(1-6)> / aryl<(6-10)> (SO G41) /
alkyl<(1-6)> (SR (1-) G43) / Hy<EC (5-) A (1-4) Q (0-) O (0-)
S (0-) N (0) OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7>
(SO G41) / 264 / 270 / 276 / 289

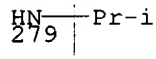


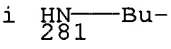


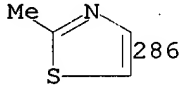




G41 = alkyl<(1-6)> / alkoxy<(1-6)> / CONH2 /
alkylaminocarbonyl<(1-6)> / NH2 / alkylamino<(1-6)> /
dialkylamino<(1-6)> / Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-)
N (0) OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7>
G42 = (3-) H / alkyl<(1-6)> / alkoxy<(1-6)> / NH2 /
dialkylamino<(1-6)> / alkylaminocarbonyl<(1-6)> / NO2 / OH /
F / Cl / Br / I / CF3 / CO2H / OMe
G43 = aryl<(6-10)> (SO G41)
G44 = Me / Et / NHMe / NHCOMe / NH2 / NHEt / 279 / 281 /
286 / alkyl<(1-6)> / alkylamino<(1-6)> /
dialkylamino<(1-6)> / CONH2 / alkylaminocarbonyl<(1-6)>







G45 = Me / Bu-t
G46 = O / S
G47 = alkylene<(1-7)>
G48 = cycloalkyl<(3-7)> (SO G7)
G49 = alkylene<(1-6)>

G50 = Hy<EC (5-) A (1-4) Q (0-) O (0-) S (0-) N (0)
OTHERQ, RC (1-), RS (0-) E5 (0-) E6 (0-) E7> (SO G10)
G51 = phenylene
G52 = alkyl<(1-6)> / alkoxy<(1-6)> / F / Cl / Br / I
G53 = **(1-2) 164**

HC G30
164

DER: or pharmaceutically acceptable salts or esters
MPL: claim 1
NTE: substitution is restricted
STE: and racemates, diastereoisomers and optical isomers

L8 ANSWER 3 OF 8 MARPAT COPYRIGHT 2000 ACS
ACCESSION NUMBER: 130:168665 MARPAT
TITLE: Preparation of hepatitis C inhibitory peptides
INVENTOR(S): Llinas-Brunet, Montse; Poupart, Marc-Andre; Rancourt, Jean; Simoneau, Bruno; Tsantrizos, Youla; Wernic, Dominik
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

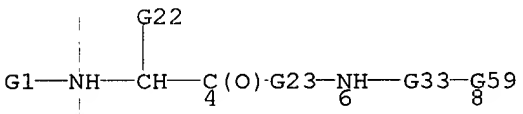
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907733	A2	19990218	WO 1998-CA765	19980810
WO 9907733	A3	19990520		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9887956	A1	19990301	AU 1998-87956	19980810
EP 1003775	A2	20000531	EP 1998-939450	19980810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1997-55186 19970811

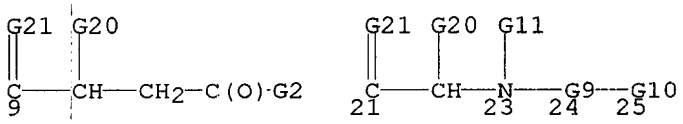
WO 1998-CA765 19980810

AB Peptides B[NHCHR6CO]a[NHCHR5CO]bQCHR4C(:Z)NHCHR3COWNHCR1R1'COA (when Q is CH2 and a and b are 0, B is an amide deriv. or when Q is NH or alkylimino and a and b are 0 or 1, B is an acyl deriv.; R6 = carboxyalkyl; R5 = alkyl or carboxyalkyl; R4 = alkyl, cycloalkyl, alkylcycloalkyl; Z = oxo or thioxo; R3 = alkyl, carboxyalkyl, cycloalkyl, alkylcycloalkyl; W is an amino acid residue such as proline; R1' = H and R1 = alkyl, mercapto- or haloalkyl or R1' and R1 together form a 3- to 6-membered ring; A is hydroxy or a pharmaceutically acceptable salt or ester) were prepd. as hepatitis C virus inhibitors. Thus, Ac-Asp-D-Glu-Chg-Val-X-Nva-OH [Chg = cyclohexlglycine, X = 4(R)-(2-naphthylmethoxy)proline, and Nva = norvaline residue], prepd. by step-wise couplings in soln., showed IC50 = 0.028 .mu.M in the NS3 protease/NS4A cofactor peptide radiometric assay.

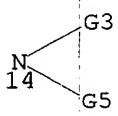
MSTR 1



G1 = **9** / 21

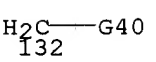


G2 = 14 / Hy<EC (1-) Q (1-) N, AN (1-) N, RC (1), RS (1) X7> (SO G8) / (SC piperidino (SO G8))

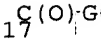


G3 = H / alkyl<(1-10)> (SO G4) / cycloalkyl<(3-7)> (SO CO2H) / Ph / alkyl<(1-4)> (SR Ph) / alkyl<(1-6)> (SR cycloalkyl<(3-7)>) / alkyl<(1-6)> (SR Hy<EC (1-4) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7>) / (SC 132 / Pr-i /

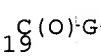
CH2CH2CO2H / CH2CH2Ph / CH2Ph)



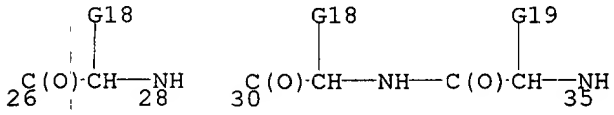
G4 = CO2H / dialkylamino<(1-6)>
G5 = alkyl<(1-6)> (SR 17) /
alkyl<(1-6)> (SR aryl<(6-10)> (SO G7)) / (SC CH2CH2CO2H /
Ph (SO G54) / alkyl<(1-2)> (SR Ph (SO G54)))



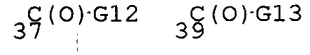
G6 = OH / alkoxy<(1-6)> / OCH2Ph
G7 = 19 / alkyl<(1-6)> (SR Hy<EC (1-4) Q (0-) N (0-)
O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7>)



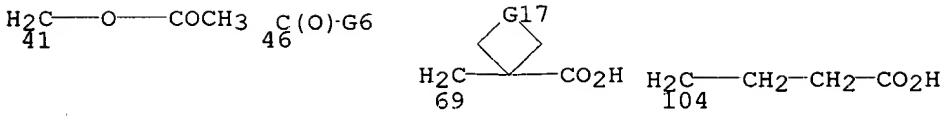
G8 = CO2H / alkoxycarbonyl<(1-6)>
G9 = NULL / 26-23 28-25 / 30-23 35-25



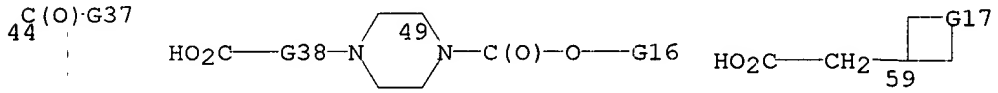
G10 = 37 / 39

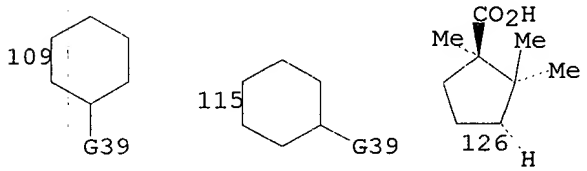


G11 = H / alkyl<(1-6)> / (SC Me)
G12 = alkyl<(1-10)> (SO G14) / 41 /
alkyl<(1-7)> (SR cycloalkyl<(3-7)> (SO 46)) / 69 /
alkyl<(1-6)> (SR aryl<(6-10)> (SO alkyl<(1-6)>)) /
(SC CH2CH2CO2H / 104 / Me / CH2Ph / CH2CH2Ph)

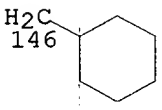


G13 = cycloalkyl<(3-7)> (SO 44) /
cycloalkyl<(3-7)> (SR (2-4) G15) / 49 / 59 /
aryl<(6-10)> (SO alkyl<(1-6)>) / (SC OBu-t / 109 / 115 /
126 / cyclopentyl (SO CO2H) / cyclohexyl (SO CO2H) / Ph)

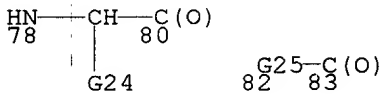




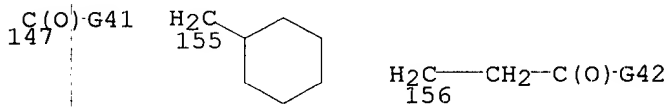
G14 = CO2H / OCHO / alkylcarbonyloxy<(1-5)> /
alkoxy<(1-6)> / (SC OCOMe / OMe / OEt / OPr-n / OBu-t)
G15 = (1) CO2H / (1-3) alkyl<(1-6)>
G16 = aryl / alkyl<(1-6)> (SR aryl) / (SC CH2Ph)
G17 = (0-4) CH2
G18 = alkyl<(1-6)> (SO CO2H) / (SC CH2CO2H / CH2CH2CO2H /
Pr-i / Bu-t)
G19 = alkyl<(1-6)> (SR CO2H) / (SC CH2CO2H / CH2CH2CO2H)
G20 = alkyl<(1-10)> / cycloalkyl<(3-7)> /
alkyl<(1-7)> (SR cycloalkyl<(3-7)>) / (SC Pr-i /
cyclopropyl / Bu-t / Bu-s / Bu-i / cyclohexyl)
G21 = O / S
G22 = **alkyl<(1-10)> (SO CO2H)** / cycloalkyl<(3-7)> /
alkyl<(1-7)> (SR cycloalkyl<(3-7)>) / (SC Bu-s / cyclohexyl /
146 / Pr-i / Bu-t / CH2CH2CO2H / H)



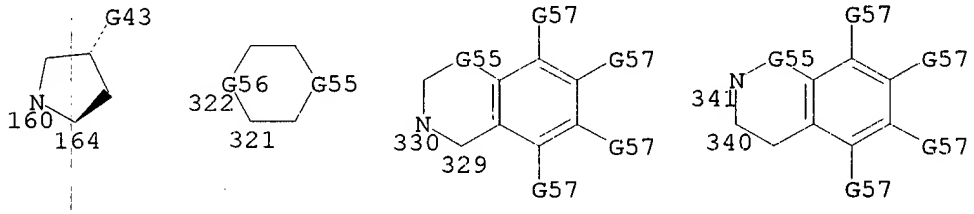
G23 = 78-4 80-6 / **82-4 83-6**

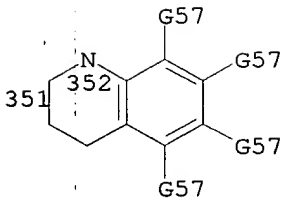


G24 = alkyl<(1-10)> (SO CO2H) /
cycloalkyl<(3-10)> (SO CO2H) / aryl<(6-10)> /
alkyl<(1-6)> (SR aryl<(6-10)>) / (SC alkyl<(1-6)> (SR 147) /
CH2Ph / Et / Bu-i / 155 / Pr-i / Me / CH2CO2H / 156)



G25 = Cy<EC (5-6) A (0-) Q (0-) N (4-) C,
AN (0-) N (1-) C, RC (1-2), RS (0-) E5 (0-) E6 (-1) E7 (0)
OTHER> (SO (1-) G26) / **(SC 160-4 164-83** / 322-4 321-83 /
330-4 329-83 / 341-4 340-83 / 352-4 351-83)





G26 = OH / SH / NH2 / CO2H / cycloalkyl<(3-16)> (SO) / alkyl<(1-16)> (SO) / cycloalkenyl<(3-16)> (SO) / alkenyl<(2-16)> (SO) / Hy<EC (1-) Q (0-) N (0-) O (0-) S (0) OTHERQ, AR (0), BD (0-) D (0) T> (SO) / 84 / 89 / 93 / aryl<(6-10)> (SO) / alkyl<(1-6)> (SR G32) / heteroaryl<EC (1-) Q (0-) N (0-) O (0-) S (0-) OTHERQ> (SO)

84 G27—G28—G27 89 G31—G30 93 C(O)O——G30

G27 = Ak<EC (1-16) C, BD (0-) D (0) T> (SO)
G28 = O / S / 87

87 N——G29

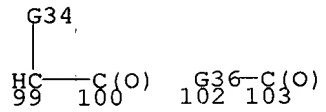
G29 = H / Ak<EC (1-16) C, BD (0-) D (0) T> (SO)
G30 = cycloalkyl<(3-16)> (SO) / alkyl<(1-16)> (SO) / cycloalkenyl<(3-16)> (SO) / alkenyl<(2-16)> (SO) / Hy<EC (1-) Q (0-) N (0-) O (0-) S (0) OTHERQ, AR (0), BD (0-) D (0) T> (SO) / 96 / aryl<(6-10)> (SO) / alkyl<(1-6)> (SR G32) / heteroaryl<EC (1-) Q (0-) N (0-) O (0-) S (0-) OTHERQ> (SO)

96 G27—G28—G27

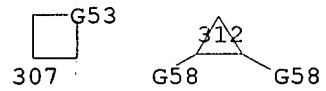
G31 = O / S / NH / 91

91 N——G30

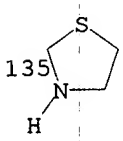
G32 = aryl<(6-10)> (SO) / heteroaryl<EC (1-) Q (0-) N (0-) O (0-) S (0-) OTHERQ> (SO)
G33 = 99-6 100-8 / **102-6 103-8**



G34 = alkyl<(1-6)> (SO (1-) G35) / alkenyl<(2-6)> / (SC CH2SH / Et / Pr-n / CH2CH=CH2 / Me / Pr-i / CH2CH2SMe)
G35 = SH / F / Cl / Br / I
G36 = cycloalkylene<(3-6)> (SO alkyl<(1-6)>) / (SC 307 / **312)**

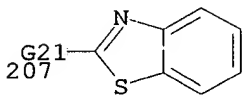


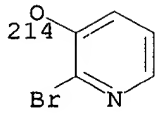
G37 = OH / alkoxy<(1-6)> / OCH2Ph / (SC OMe / OEt)
G38 = alkylene<(1-6)> / (SC CH2)
G39 = CO2H / CO2CH2Ph
G40 = 2-tetrahydrofuryl / 135 / cyclopropyl / 2-thienyl

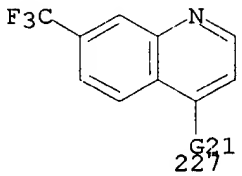


G41 = OH / alkoxy<(1-6)> / OCH2Ph / NHCH2Ph
G42 = OH / OCH2Ph / NHCH2Ph
G43 = OH / SH / NH2 / **CO2H** / cycloalkyl<(3-16)> (SO) / alkyl<(1-16)> (SO) / cycloalkenyl<(3-16)> (SO) / alkenyl<(2-16)> (SO) / Hy<EC (1-) Q (0-) N (0-) O (0-) S (0) OTHERQ, AR (0), BD (0-) D (0) T> (SO) / 166 / 169 / aryl<(6-10)> (SO) / alkyl<(1-6)> (SR G32) / heteroaryl<EC (1-) Q (0-) N (0-) O (0-) S (0-) OTHERQ> (SO) / CH2Ph / CH2CH2Ph / 171 / 175 / 182 / 207 / 214 / 227

166 G27–G28–G27 169 G31–G30 171 H_2C——CH_2–CH_2–Ph 175 O——CH_2–G44 182 O——G47

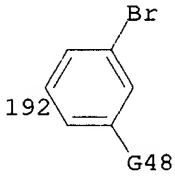


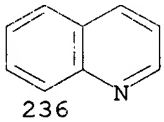




G44 = 178 / o-C6H4Me / 180 / naphthyl / 192 / 236

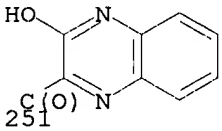
178 p-C_6H_4G45 180 m-C_6H_4G46

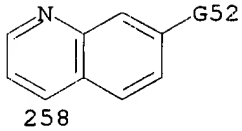


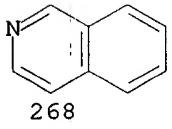


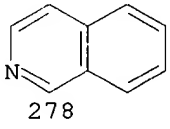
G45 = H / Me / Bu-t
G46 = Me / I
G47 = naphthyl / 184 / 186 / 188 / 251 / 258 / 268 / 278 / 288 / 301

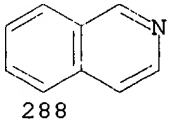
184 p-C_6H_4G49 186 o-C_6H_4Br 188 m-C_6H_4G50

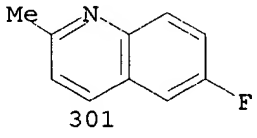












G48 = H / Br

G49 = Br / I / 228

p-C_6H_4OMe
228

G50 = Br / 292

m-C_6H_4G51
292

G51 = H / NHCOMe / CH2OH / NO2
G52 = H / Cl
G53 = (1-3) CH2
G54 = CO2H / alkoxycarbonyl<(1-4)>
G55 = (0-1) CH2
G56 = N / CH
G57 = H / 365

O—G55—CH_2—Ph
365

G58 = H / alkyl<(1-6)> / Et
G59 = **OH** / (SC alkoxy<(1-6)> (SO aryl) / OMe / OEt / OPh / OCH2Ph)
DER: or pharmaceutically acceptable salts or esters
MPL: claim 1
NTE: additional ring formation also claimed
NTE: also incorporates broader disclosure
NTE: substitution is restricted

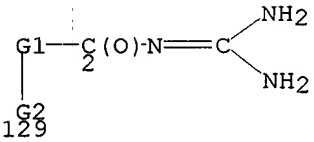
L8 ANSWER 4 OF 8 MARPAT COPYRIGHT 2000 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 131:179820 MARPAT
TITLE: Pharmaceutical compositions of guanidine derivatives for the treatment of ischemic brain damage
INVENTOR(S): Kuribayashi, Yoshikazu; Itoh, Natsuko; Ohashi, Naohito
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

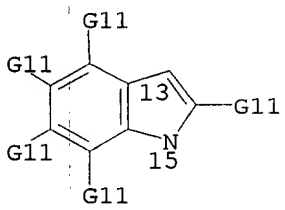
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 937459	A2	19990825	EP 1999-300678	19990129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 11286454	A2	19991019	JP 1999-12055	19990120
PRIORITY APPLN. INFO.:			JP 1998-34110	19980129

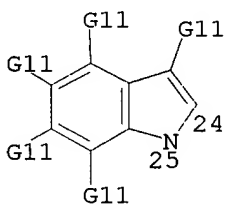
AB The use of a guanidine compd. which has Na+/H+ exchange system inhibition activity, or a pharmaceutically acceptable acid addn. salt thereof, in the manuf. of a pharmaceutical compn. for the treatment of ischemic brain damage such as cerebral infarction, cerebral embolism and cerebral thrombus is described. E.g., the mean percentage of the infarcted area of brain sections in rats given 1,4-dimethyl-2-indoloylguanidine methanesulfonate (1 mg/mL/kg i.p.) 30 min before the occlusion of the middle cerebral artery was 26.6 .+-. 3.1% compared to 49.5 .+-. 4.1% in the control vehicle-treated animals.

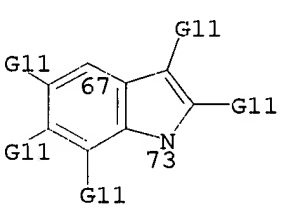
MSTR 1 ITERATION INCOMPLETE

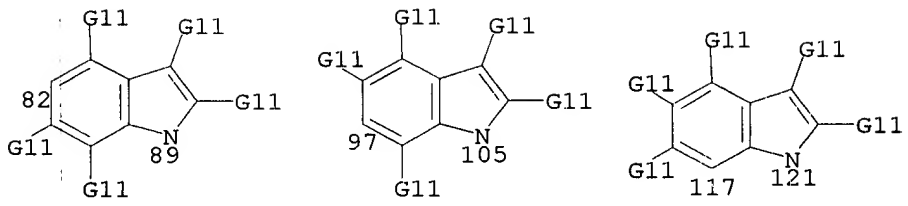


G1 = 13-2 15-129 / 24-2 25-129 / 67-2 73-129 / 82-2 89-129 / 97-2 105-129 / 117-2 121-129









G2 = H / alkyl<(1-8)> (SO (1-) G3) / cycloalkyl<(3-7)> / OH / alkoxy<(1-6)> / aryl<(-10)> (SO) / heteroaryl<EC (0-4) N (-1) O (-1) S> (SO) / 26 / (SC Me)

H_2C(26)—G4

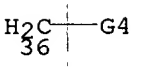
G3 = X / OH / alkoxy<(1-6)> / CN / CO2H / alkoxycarbonyl<(1-6)> / alkylcarbonyl<(1-8)> (SO aryl<(6-)>) / arylcarbonyl<(6-10)> / aryl<(-10)> (SO) / heteroaryl<EC (0-4) N (-1) O (-1) S> (SO) / 30 / NH2 / 32 / Hy<EC (1-) Q (1-) N, AN (1-) N, AR (0), BD (ALL) SE, RS (0-) E5 (0-) E6 (0-) E7 (0) OTHER> (SO) / 40

C(O)-G5 (30) G6—G7 (32) G8—G9 (40)

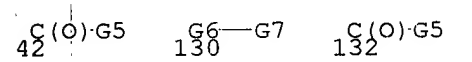
G4 = alkenyl<(2-6)> / alkynyl<(2-6)>
G5 = NH2 / alkylamino<(1-8)> / dialkylamino<(1-8)> / Hy<EC (1-) Q (1-) N, AN (1-) N, AR (0), BD (ALL) SE, RS (0-) E5 (0-) E6 (0-) E7 (0) OTHER> (SO)
G6 = NH / 34

N(34)—G7

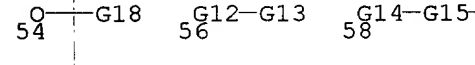
G7 = alkyl<(1-8)> (SO) / cycloalkyl<(3-7)> / alkylcarbonyl<(1-8)> (SO aryl<(6-)>) / arylcarbonyl<(6-10)> / aryl<(-10)> (SO) / heteroaryl<EC (0-4) N (-1) O (-1) S> (SO) / 36



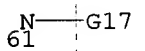
G8 = cycloalkylene<(3-8)> /
Hy<EC (2-7) C (1) Q (1) N (0) OTHERQ, AN (1) C (1) N,
AR (0), BD (ALL) SE, RC (1), RS (1) M3 (1) X8>
G9 = H / alkyl<(1-8)> (SO (1-) G10)
G10 = OH / alkoxy<(1-6)> / CN / CO2H /
alkoxycarbonyl<(1-6)> / alkylcarbonyl<(1-8)> (SO aryl<(6-)>
) / arylcarbonyl<(6-10)> / aryl<(-10)> (SO) /
heteroaryl<EC (0-4) N (-1) O (-1) S> (SO) / 42 / NH2 / 130 /
Hy<EC (1-) Q (1-) N, AN (1-) N, AR (0), BD (ALL) SE,
RS (0-) E5 (0-) E6 (0-) E7 (0) OTHER> (SO) / 132



G11 = H / alkyl<(1-8)> / alkenyl<(2-6)> / alkynyl<(2-6)> /
cycloalkyl<(3-7)> / X / NO2 / alkylcarbonyl<(1-8)>
(SO aryl<(6-)>) / arylcarbonyl<(6-10)> / CO2H /
alkoxycarbonyl<(1-6)> / aryl<(-10)> (SO) /
heteroaryl<EC (0-4) N (-1) O (-1) S> (SO) / OH / 54 /
NH2 (SO) / SO2NH2 (SO) / 56 / 58



G12 = S / S(O) / SO2
G13 = alkyl<(1-8)> (SO) / aryl<(-10)> (SO) /
heteroaryl<EC (0-4) N (-1) O (-1) S> (SO)
G14 = O / S / S(O) / SO2 / NH / 61



G15 = Hy<EC (2-7) C (1) Q (1) N (0) OTHERQ,
AN (1) C (1) N, AR (0), BD (ALL) SE, RC (1),
RS (1) M3 (1) X8>
G16 = H / alkyl<(1-8)> (SO)
G17 = alkyl<(1-8)>

G18 = alkyl<(1-8)> (SO) / cycloalkyl<(3-7)> / alkylcarbonyl<(1-8)> (SO aryl<(6-)>) / arylcarbonyl<(6-10)> / aryl<(-10)> (SO) / heteroaryl<EC (0-4) N (-1) O (-1) S> (SO) / 63

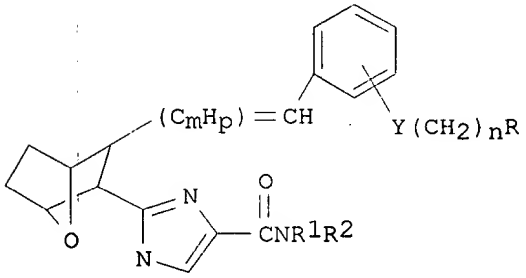
H_2C—G4
63

DER: or pharmaceutically acceptable acid addition salts
MPL: claim 3

L8 ANSWER 5 OF 8 MARPAT COPYRIGHT 2000 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 125:247472 MARPAT
TITLE: Substituted styryl heterocyclic amido prostaglandin analogs
INVENTOR(S): Misra, Raj N.
PATENT ASSIGNEE(S): E.R. Squibb and Sons, Inc., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5550248	A	19960827	US 1990-619569	19901129

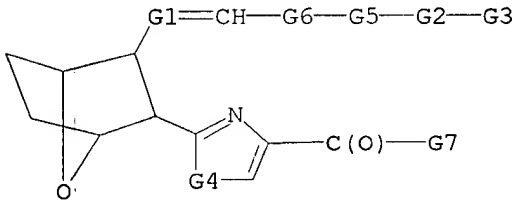
GI



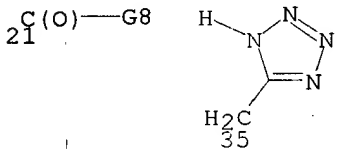
I

AB Prostaglandin analogs useful in treating thrombotic and vasospastic disease having the structural formula I wherein: CmHp is an alkylene chain wherein m is 0, 1, 2, or 3 and p=(2.times.m)-1, except that when m is 0, p is also 0; n is 0, 1, 2 or 3; R is CO2R', CH2OH, CONHSO2R3, CONHR4, or -CH2-(5-tetrazolyl); R' is hydrogen, alkyl, or alkali metal; X is O or NH; Y is --O--, a single bond or vinylene, except that Y cannot be --O-- when n is 0; and the remaining symbols are as defined in the specification.

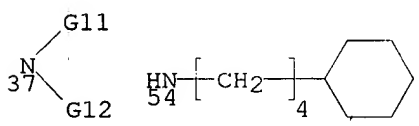
MSTR 1 ITERATION INCOMPLETE



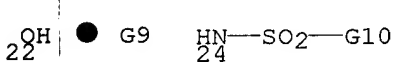
G1 = NULL / Ak<EC (1-3) C, BD (ALL) S> / (EX CH)
G2 = (0-3) CH2
G3 = 21 / CH2OH / 35



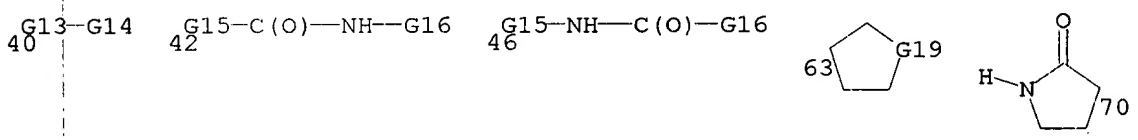
G4 = O / NH
G5 = O / NULL / CH=CH
G6 = phenylene
G7 = 37 / Hy<EC (1) Q (1) N, AN (1) N, RC (1), RS (1) M5 (1) X8> / (SC 54)

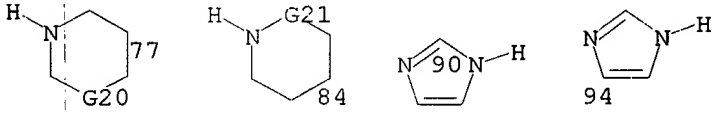


G8 = OH / alkoxy<(1-12)> / 22 / 24 / NH2 /
alkylamino<(1-12)> / arylamino<(6-12)> / aralkylamino

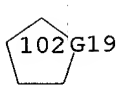


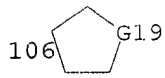
G9 = alkali metal atom
G10 = alkyl<(1-12)> / aryl<(6-10)> / aralkyl
G11 = H / alkyl<(1-12)> (SO G17) /
alkenyl<(-12)> (SO G17) / alkynyl<(-16)> (SO G17) /
aralkyl (SO G17) / aryl<(6-10)> (SO G17) /
cycloalkyl<(3-12)> (SO G17) / 40 /
Hy<EC (1-2) Q (0-) N (0-) O (0-) S (0) OTHERQ, AN (1-) C,
RC (1), RS (1) M5 (1) X7> (SO G17) /
heteroaryl<AN (1-) C> (SO G17) / 42 / 46 / (EX 63 / 70 / 77 /
84 / pyridyl / pyrimidinyl / oxazolyl / thiazolyl / 90 / 94)

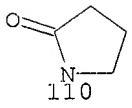


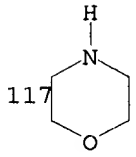


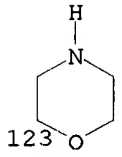
G12 = H / alkyl<(1-12)> / aryl<(6-10)> / aralkyl /
(EX CH2Ph)
G13 = (1-12) CH2
G14 = cycloalkyl<(3-12)> (SO G17) /
Hy<EC (1-2) Q (0-) N (0-) O (0-) S (0-) OTHERQ, RC (1),
RS (1) M5 (1) X7> (SO G17) / heteroaryl<AN (1-) C> (SO G17) /
(EX 102 / 106 / pyrrolyl / piperidino / 110 / 117 / 123 /
morpholino / thiomorpholino / 132 / 139 / 146 /
hexahydroazepino / pyrazinyl / imidazolyl / pyrimidinyl /
oxazolyl / thiazolyl / 155 / pyridyl)

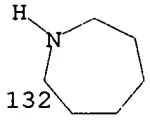


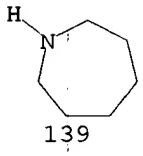


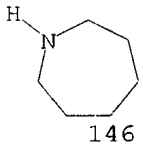


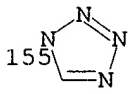












G15 = (1-12) CH2
G16 = alkyl<(1-12)> (SO G17) / aryl<(6-10)> (SO G17) / cycloalkyl<(3-12)> (SO G17) / 50

50 G13—G18

G17 = alkyl<(1-12)> / aryl<(6-10)> / cycloalkyl<(3-12)> / 52

52 G13—G18

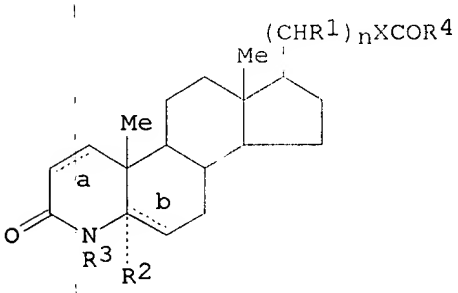
G18 = cycloalkyl<(3-12)> (SO)
G19 = O / S
G20 = CH2 / CH2CH2
G21 = CH2 / CH2CH2
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: if G2 = (O)CH2, then not G5 = O

L8 ANSWER 6 OF 8 MARPAT COPYRIGHT 2000 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 121:109397 MARPAT
TITLE: Preparation of ester derivatives of 4-azasteroids as steroid 5.alpha.-reductase inhibitors.

INVENTOR(S): Witzel, Bruce E.; Rasmusson, Gary H.; Tolman, Richard L.; Yang, Shu Shu
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323041	A1	19931125	WO 1993-US4771	19930519
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9342525	A1	19931213	AU 1993-42525	19930519
AU 668181	B2	19960426		
EP 649306	A1	19950426	EP 1993-911362	19930519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07508039	T2	19950907	JP 1993-503838	19930519
US 5610162	A	19970311	US 1994-338573	19941117
PRIORITY APPLN. INFO.:			US 1992-886022	19920520
			WO 1993-US4771	19930519

GI

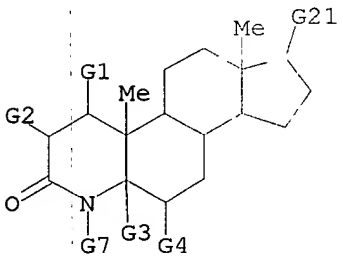


I

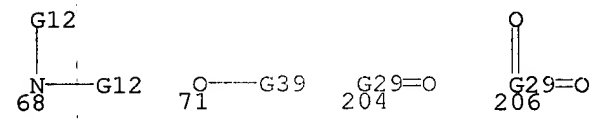
AB Title compds. [I; a, b = single bonds, R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, alkyl, aralkyl; R3 = H, Me, Et, OH, NH2, SMe; n = 0-10; X = O, S; R4 = (substituted) alkyl, aryl, heterocyclyl, cycloalkyl, amino, OH, etc.] were prepd. as inhibitors of 5.alpha.-reductase and isoenzymes thereof. The compds. are useful for the

treatment of hyperandrogenic disease conditions and diseases of the skin and scalp (no data). Thus, 20-hydroxy-4-methyl-5.alpha.-4-azapregnan-3-one, 11-ethylthioundecanoic acid, DMAP, and DCC were stirred in CH2Cl2 at room temp. to give 20-[11-(ethylthio)undecanoyloxy]-4-methyl-5.alpha.-4-azapregnan-3-one.

MSTR 1 ITERATION INCOMPLETE

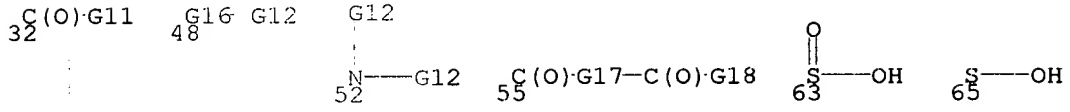


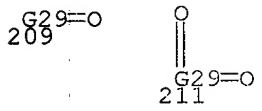
G1 = H
G2 = H
G3 = H
G4 = H
G5 = NULL / alkylene<EC (1-10) C, DC (0) M3> (SO G6)
G6 = Ph / naphthyl / alkyl<(1-3)> (SO G28) / (SC Me)
G7 = H / Me / Et / OH / NH2 / SMe
G8 = O / S
G9 = Ph (SO) / naphthyl (SO) /
Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, CH (-1) +,
RC (1), RS (1) M5 (1) X7> (SO) / 204 / 206 /
Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6) C,
AR (1-), BD (6-) N, CH (-1) +, RC (2),
RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO) /
cycloalkyl<(3-10)> (SO) / 68 / OH / 71



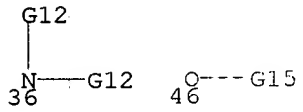
G10 = OH / F / Cl / Br / I / alkoxy<(1-8)> /
alkenyl<(1-6)> / 32 / SH / 65 / 63 / 48 / 52 / Ph (SO) /
naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0)
OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> (SO) / 209 /
211 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6) C,

AR (1-), BD (6-) N, CH (-1) +, RC (2),
RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO) /
cycloalkyl<(3-10)> (SO) / 55

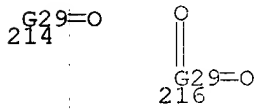




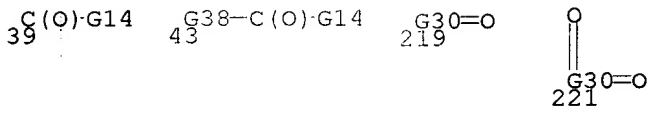
G11 = 36 / OH / 46



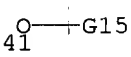
G12 = H / alkyl<(1-8)> (SO (1-) G13) / Ph (SO) /
naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0)
OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> (SO) / 214 /
216 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6) C,
AR (1-), BD (6-) N, CH (-1) +, RC (2),
RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)



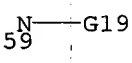
G13 = OH / alkoxy<(1-3)> / CN / 39 / 43 / NO2 / F / Cl /
Br / I / NH2 / alkylamino<(1-4)> / dialkylamino<(1-4)> /
Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-)
S (0) OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> / 219 /
221 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6) C,
AR (1-), BD (6-) N, CH (-1) +, RC (2),
RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER>



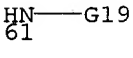
G14 = OH / 41



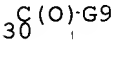
G15 = alkyl<(1-8)> (SO) / Ph (SO) / naphthyl (SO)
G16 = S / S(O) / SO2
G17 = NH / 59



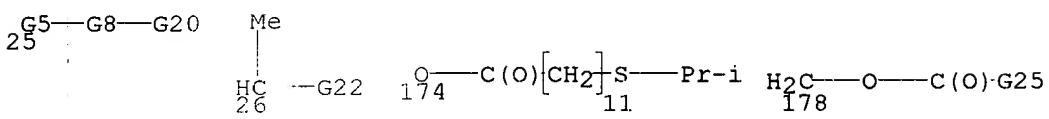
G18 = NH2 / 61

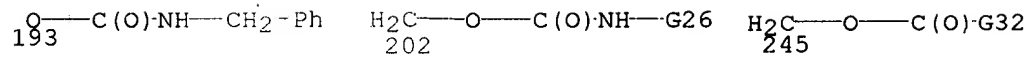


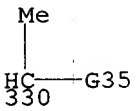
G19 = alkyl<(1-8)> / CH2Ph / cyclohexyl
G20 = alkylcarbonyl<(1-20)> (SO (1-) G10) / 30



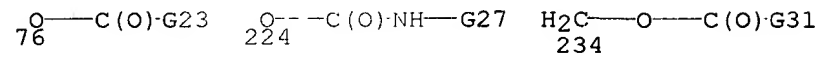
G21 = 25 / (SC 26 / 174 / 178 / 193 / 202) / (EX 245 / 330)

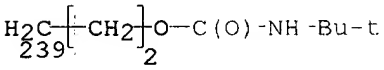




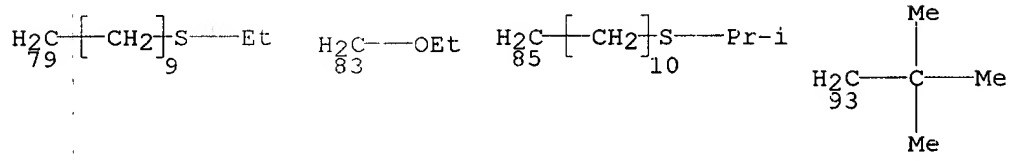


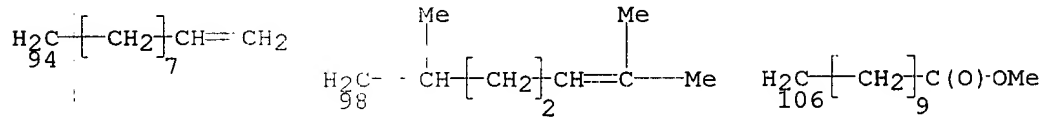
G22 = 76 / 224 / 234 / 239

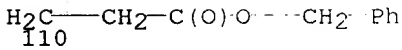


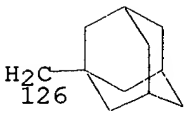


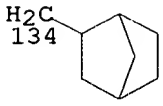
G23 = 79 / 83 / 85 / dodecyl / 93 / Bu-t / 94 / 98 / CH2CH2CO2H / 106 / 110 / 126 / 134 / 143 / 144 / 147 / 150 / 154 / 158 / 169 / 170

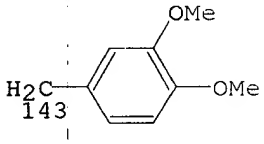


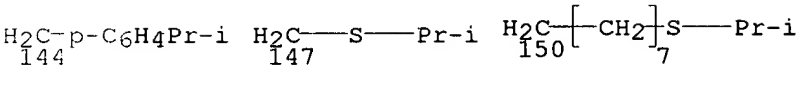


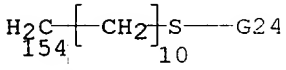


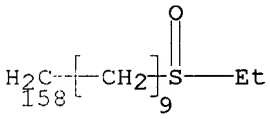


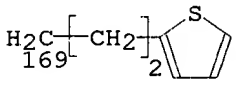


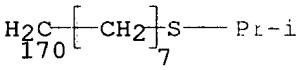




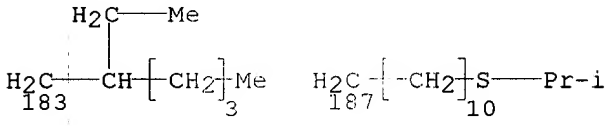








G24 = Pr-i / Bu-t
G25 = Me / Bu-t / 183 / 187



G26 = Bu-t / Me / 228

o-C6H4Et
228

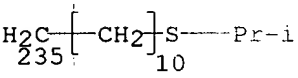
G27 = Bu-t / Pr-i / Me

G28 = Ph / naphthyl

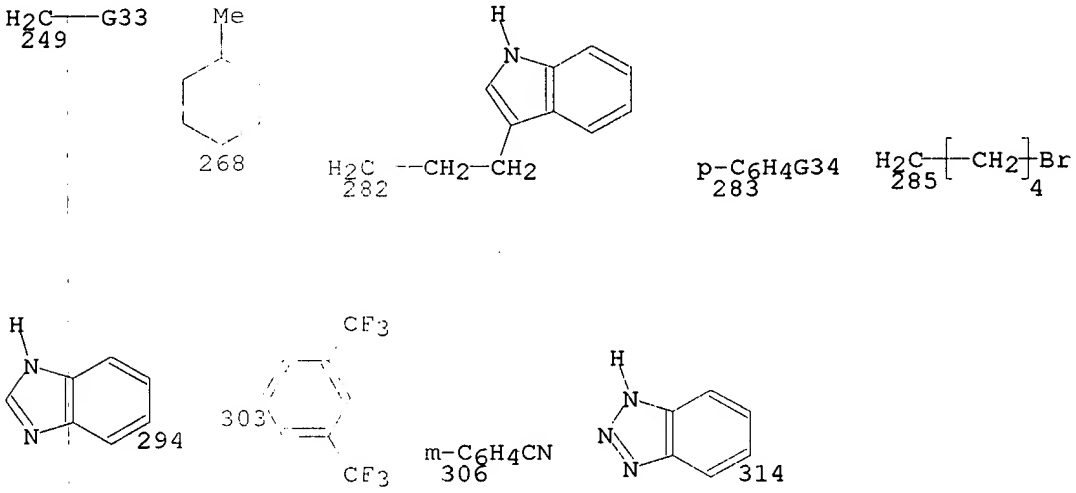
G29 = Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, AN (0-) N (0-) S (0) OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, CH (-1) +, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)

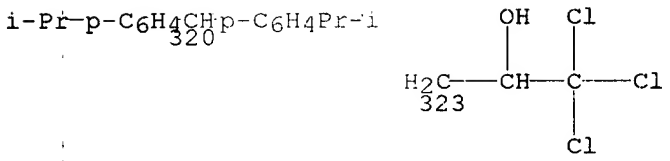
G30 = Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, AN (0-) N (0-) S (0) OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, CH (-1) +, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER>

G31 = Me / Bu-t / 235

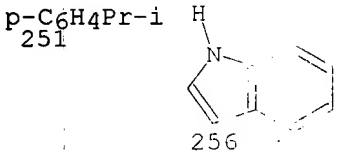


G32 = 249 / 268 / 282 / 283 / 285 / 294 / 303 / 306 / 314 / 320 / 323 / CH=CHPh

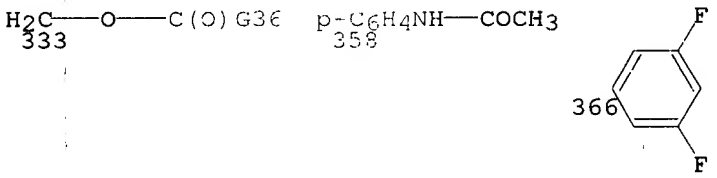




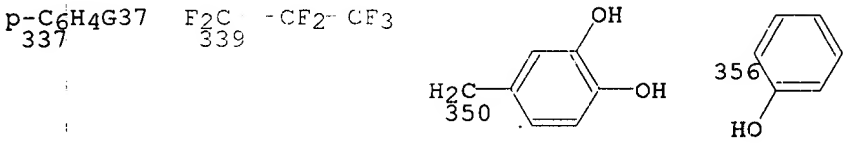
G33 = 2-furyl / 251 / cyclohexyl / 256 / OCOMe



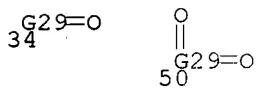
G34 = Bu-i / OEt
G35 = 333 / 358 / heptadecyl / 366



G36 = 337 / pentadecyl / 339 / 350 / 356



G37 = NO2 / COPh
G38 = alkylene<(1-8)>
G39 = H / alkyl<(1-8)> (SO (1-) G13) / Ph (SO) /
naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0)
OTHERQ, CH (-1) +, RC (1), RS (1) M5 (1) X7> (SO) / 34 / 50 /
Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6) C,
AR (1-), BD (6-) N, CH (-1) +, RC (2),
RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)

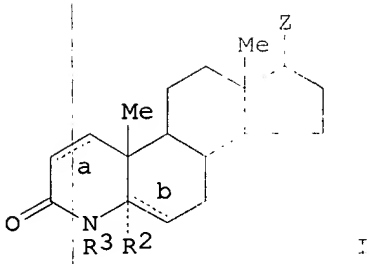


G1 +G2 = NULL
G3 +G4 = NULL
DER: or pharmaceutically acceptable salts or ester
MPL: claim 1

L8 ANSWER 7 OF 8 MARPAT COPYRIGHT 2000 ACS
(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 120:245602 MARPAT
TITLE: Preparation of 17-ethers and thioethers of 4-aza-steroids as steroid reductase inhibitors
INVENTOR(S): Witzel, Bruce E.; Tolman, Richard L.; Rasmusson, Gary H.; Bakshi, Raman K.; Yang, Shu Shu
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9323040	A1	19931125	WO 1993-US4746	19930519
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9342521	A1	19931213	AU 1993-42521	19930519
AU 668180	B2	19960426		
EP 641204	A1	19950308	EP 1993-911358	19930519
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07508038	T2	19950907	JP 1993-503831	19930519
US 5536727	A	19960716	US 1994-338572	19941117
PRIORITY APPLN. INFO.:			US 1992-886031	19920520
			WO 1993-US4746	19930519

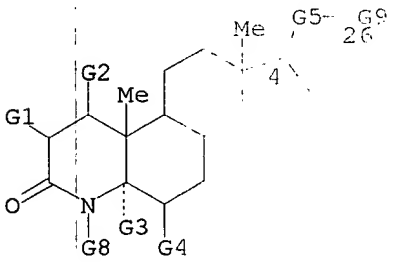
GI



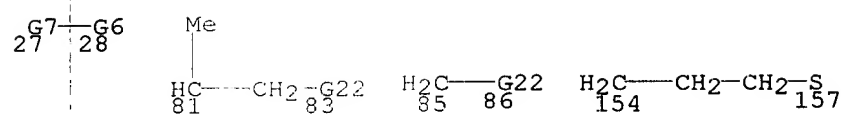
I

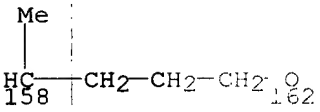
AB Title compds. [I; a, b both = single bonds, and R2 = H; or a = double bond, b = single bond, and R2 = H; or a = single bond, b = double bond, and R2 = null; R1 = H, aryl, (aryl)alkyl; R3 = H, Me, Et, OH, NH2, SMe; R4 = (substituted) alkyl, aryl, heterocyclyl; Z = XR4, (CHR1)nXR4; X = O, S, SO, SO2], were prepd. as inhibitors of steroid 5.alpha.-reductase enzymes 1 and 2 (no data). The compds. are useful for the treatment of hyperandrogenic disease conditions and diseases of the skin and scalp. Thus, 17-hydroxymethyl-4-methyl-5.alpha.-4-azaandrostan-3-one and diphenyldiazomethane in CH2Cl2 were treated dropwise with BF3.Et2O to give 17-diphenylmethoxymethyl-4-methyl-5.alpha.-4-azaandrostan-3-one.

MSTR 1 ITERATION INCOMPLETE

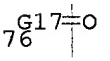


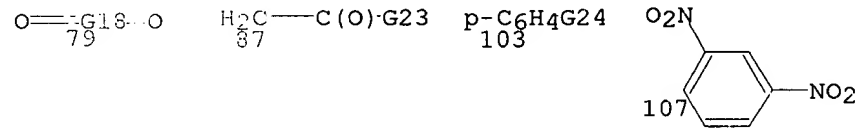
G1 = H
G2 = H
G3 = H
G4 = H
G5 = O / S / S(O) / SO2 / 27-4 28-26 / (SC 81-4 83-26 / 85-4 86-26 / 154-4 157-26 / 158-4 162-26)

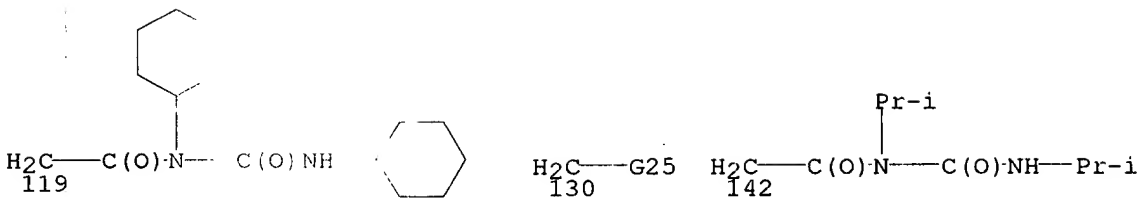


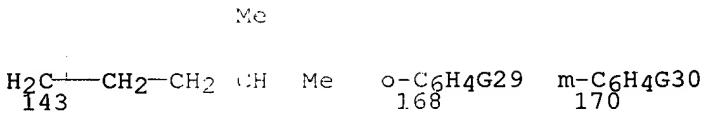


G6 = O / S / S(O) / SO2
G7 = alkylene (SO G11)
G8 = H / Me / Et / OH / NH2 / SMe
G9 = alkyl<(1-20)> (SO (1-) G10) / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> (SO) / 76 / 79 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (0-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO) / cycloalkyl<(3-10)> (SO) / (SC Me / 87 / Et / CHPh2 / Pr-i / 103 / 107 / 119 / 3-pyridyl / 130 / 142 / 143 / hexyl / Pr-n / undecyl / CH2CH=CH2 / CH2CH2CHMe2 / CH2C(Me)=CH2 / 168 / 170)

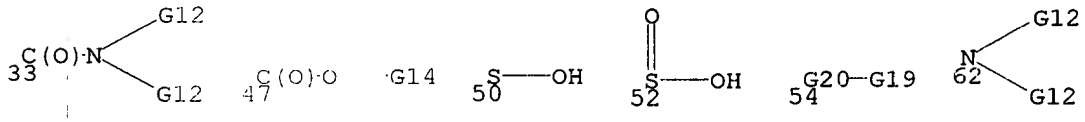


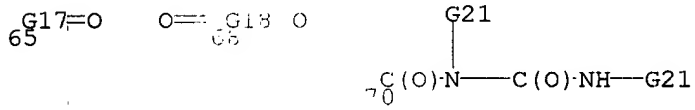






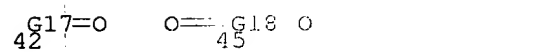
G10 = OH / F / Cl / Br / I / alkoxy<(1-8)> / alkenyl<(2-10)> / 33 / 47 / SH / 50 / 52 / 54 / 62 / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> (SO) / 65 / 68 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO) / cycloalkyl<(3-10)> (SO) / 70



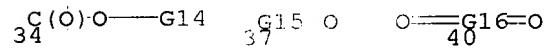


G11 = Ph / naphthyl

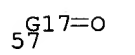
G12 = H / alkyl<(1-)> (SO (1-) G13) / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> (SO) / 42 / 45 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)



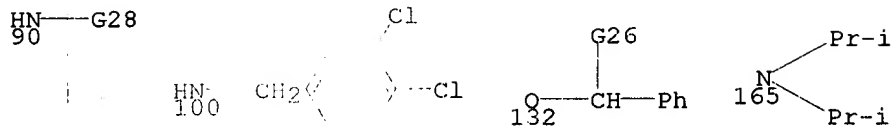
G13 = OH / alkoxy<(1-3)> / CN / 34 / NO2 / F / Cl / Br / I / NH2 / alkylamino<(1-4)> / dialkylamino<(1-4)> / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> / 37 / 40 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER>



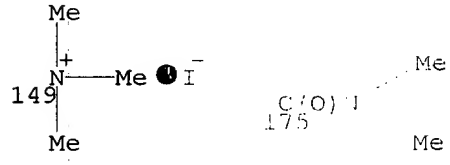
G14 = H / alkyl<(1-3)> (SO) / Ph (SO) / naphthyl (SO)
G15 = Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER>
G16 = Hy<EC (1-3) Q (0-) N (0-) O (1-) S (0) OTHERQ, AN (1-) S, RC (1), RS (1) M5 (1) X7> / Hy<EC (1-3) Q (0-) N (0-) O (1-) S (0) OTHERQ (6-) C, AN (1-) S, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER>
G17 = Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)
G18 = Hy<EC (1-3) Q (0-) N (0-) O (1-) S (0) OTHERQ, AN (1-) S, RC (1), RS (1) M5 (1) X7> (SO) / Hy<EC (1-3) Q (0-) N (0-) O (1-) S (0) OTHERQ (6-) C, AN (1-) S, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)
G19 = alkyl<(1-3)> (SO (1-) G13) / Ph (SO) / naphthyl (SO) / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ, RC (1), RS (1) M5 (1) X7> (SO) / 57 / 60 / Hy<EC (1-3) Q (0-) N (0-) O (0-) S (0) OTHERQ (6-) C, AR (1-), BD (6-) N, RC (2), RS (0-) E5 (1-) E6 (0-) E7 (0) OTHER> (SO)



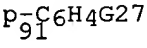
G20 = S / S(O) / SO2
G21 = H / alkyl(1-5) / CH2Ph / cyclohexyl
G22 = O / S
G23 = 132 / 90 / OH / OEt / 100 / NHPh / NH2 / 165



G24 = Ph / NO2 / NH2 / NHCOMe / CN / CONH2 / NMe2 / 149 / OMe / 175



G25 = 2-pyridyl / Ph
G26 = H / Ph
G27 = COMe / CH(OH)Me / Bu-t
G28 = 91 / 1-adamantyl / Bu-i / CH2CH2OH



G29 = CN / NO2 / CONH2
G30 = CN / CONH2
G1 +G2 = NULL
G3 +G4 = NULL
DER: or pharmaceutically acceptable salts or esters
MPL: claim
NTE: substitution is restricted

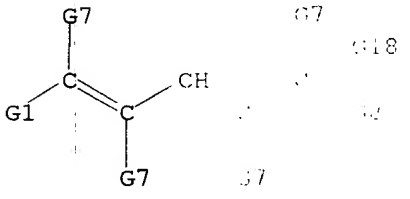
L8 ANSWER 8 OF 9 MARPAT COPYRIGHT 2000 ACS

(ALL HITs ARE ITERATION INCOMPLETES)
ACCESSION NUMBER: 116:13416 MARPAT
TITLE: Pressure- and heat-sensitive recording materials with good sensitivity, storability and image stability
INVENTOR(S): Sano, Masajiro; Takashima, Masanobu; Satomura, Masato
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

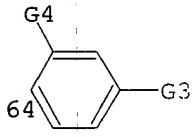
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03142277	A2	19910618	JP 1989-282319	19891030

AB The title materials utilizes coloration by contact between electron-donating leuco dye Ar1R1CH:CR2:CH:CHR3CR4R5Ar2 (Ar1, Ar2 = amine residue-contg. aryl or heterocyclic group; R1-4 = H, monovalent group; R5 = aryl group contg. alkoxy group; R1-4 may bond together forming 4- to 12-membered rings with or without contg. heteroatom) and electron-acceptive compd.

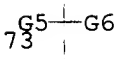
MSTR 1B ITERATION INCOMPLETE



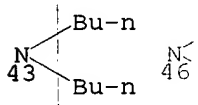
G1 = aryl (SAT 1 G2) / (EX 64)



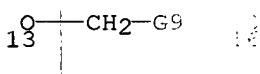
G2 = (1-) NH2 SO2 / R / (EX alkyl / alkoxy / aryloxy / X / NO2 / CN / OH / alkylthio / arylsulfonyl / Ph)



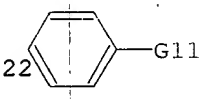
G3 = NMe2 / NEt2 / 43 / 46 / pyrrolidino



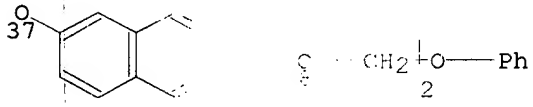
G4 = H / OPr / Me Cl
G5 = C(O) / SO2
G6 = NH2 (SP) / OH (SR)
G7 = H / R
G8 = alkoxy (SP / G12) / (EX 13 / 16)



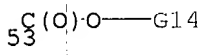
G9 = 22 / 4-pyridyl



G10 = OPh / Ph 3 / 38 / SPh



G11 = H / Me
G12 = aryl / R / (EX 59 / 53)



368866

G13 = O / S / S(O) / SO2 / C(O) / NH / 56

N——G15
56

G14 = alkyl / (G6) / aryl
G15 = alkyl / acyl
G16 = aryl / (68 / 71)

C(O)-O——G17
68

G17 = alkyl / aryl
G18 = Hy (SR /)
MPL: claim

=>

---Logging off

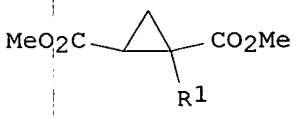
=>
Executing the logoff script...

=> LOG Y

COST IN U.S.	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED	204.78	331.53
DISCOUNT AMOUNT FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.24	-4.24

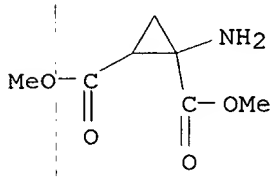
STN INTERNATIONAL LOGOFF AT 12:26:41 ON 20 JUL 2000

LA English
OS CASREACT 124:261655
GI



I

AB Aminolysis of bromocyclopropane diester I (R1 = Br) in the presence of potassium hexamethyldisilazane (KHMDS) was investigated. Methoxycyclopropane diester I (R1 = OMe) instead of the putative aminocyclopropane diester I (R1 = NH2) was isolated from the reaction.
IT **175089-77-7P**
RL: PNU (Preparation, unclassified); PREP (Preparation)
(attempted synthesis of aminocyclopropanedicarboxylic acid via aminolysis of bromocyclopropanedicarboxylate)
RN 175089-77-7 CAPLUS
CN 1,2-Cyclopropanedicarboxylic acid, 1-amino-, dimethyl ester (9CI) (CA INDEX NAME)

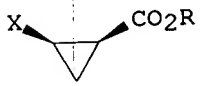


L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2000 ACS
AN 1993:603875 CAPLUS
DN 119:203875
TI Preparation of cis-2-aminocyclopropanecarboxylic acid derivatives as intermediates for endothelin antagonist peptides
IN Ishikawa, Kyobumi; Niiyama, Kenji; Ihara, Masaki; Yano, Mitsuo
PA Banyu Pharma Co Ltd, Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	JP 05155827	A2	19930622	JP 1991-350316	19911209

OS MARPAT 119:203875

GI



I

AB The title derivs. I (X = NX3X4; R = protective group; X3-4 = H, protective group) or their salts are prepd. from I (X = CO2H) by conversion to I (X = COX1; X1 = NH2, N3), rearrangement into isocyanate derivs., hydrolysis or alcoholysis to I (X = NHX2; X2 = H, alkoxycarbonyl) or their salts, and optional removal of the amino and/or the carboxy protective groups and/or protection of the amino group. Treating 2.88 g (-)-(1S,2R)-I (X = CO2Me, R = H) (prepn. given) with isobutylene and H2SO4 in CH2Cl2 at room temp. for 20 h gave 3.24 g diester, which was hydrolyzed by aq. K2CO3 in MeOH to give 2.4 g (+)-(1S,2R)-I (X = CO2H, R = CMe3) (II). Stirring a suspension of 1.10 g II, NH4Cl, 1-hydroxy-1H-benzotriazole, Et3N, and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide-HCl in DMF at room temp. for 5 h gave 0.91 g (+)-(1S,2R)-I (X = CONH2), reaction of 0.37 g of which with (CF3CO2)2IPh in MeCN in the presence of pyridine and subsequent reaction with Me3COH gave 0.25 g (1S,2R)-I (X = NHCO2CMe3, R = CMe3).

IT **150626-49-6P 150737-97-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for endothelin-antagonizing peptides)

RN 150626-49-6 CAPLUS

CN Cyclopropanecarboxylic acid, 2-amino-, 1,1-dimethylethyl ester, (1R-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.